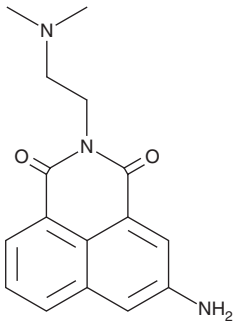
PRODUCT INFORMATION

Amonafide

Item No. 31623

CAS Registry No.:	69408-81-7
Formal Name:	5-amino-2-[2-(dimethylamino)ethyl]-1H-benz[de]isoquinoline-1,3(2H)-dione
Synonyms:	AS1413, Benzisoquinolinedione, Nafidimide, NCI 308847, NSC 308847
MF:	$C_{16}H_{17}N_3O_2$
FW:	283.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 251 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Amonafide is supplied as a crystalline solid. A stock solution may be made by dissolving the amonafide in the solvent of choice, which should be purged with an inert gas. Amonafide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of amonafide in these solvents is approximately 1 mg/ml in ethanol and 30 mg/ml in DMSO and DMF.

Amonafide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, amonafide should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Amonafide has a solubility of approximately 0.11 mg/ml in a 1:8 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Amonafide is a DNA-intercalating topoisomerase II poison.[1] It reduces the formation of kinetoplast DNA minicircles, a marker of topoisomerase II activity, in a cell-free assay at 100 µM, but does not induce relaxation of supercoiled DNA, a marker of topoisomerase I activity, at the same concentration.[2] Amonafide inhibits growth in a panel of 11 human cancer cell lines, including leukemia, gastric, breast, and lung cancer cells, with GI_{50} values ranging from 1 to 10 µM.[3] It induces cell cycle arrest at the G_2/M phase and apoptosis in AGS gastric and Huh7 hepatoma cancer cells, respectively, when used at concentrations ranging from 2.5 to 10 µM. Amonafide (5 mg/kg) reduces primary tumor growth and decreases pulmonary metastasis in a murine H22 hepatoma model.[4]

References

1. Hsiang, Y.H., Jiang, J.B., and Liu, L.F. Topoisomerase II-mediated DNA cleavage by amonafide and its structural analogs. *Mol. Pharmacol.* **36(3)**, 371-376 (1989).
2. Chen, Z., Liang, X., Zhang, H., *et al.* A new class of naphthalimide-based antitumor agents that inhibit topoisomerase II and induce lysosomal membrane permeabilization and apoptosis. *J. Med. Chem.* **53(6)**, 2589-2600 (2010).
3. Liu, Y., Norton, J.T., Witschi, M.A., *et al.* Methoxyethylamino-numonafide is an efficacious and minimally toxic amonafide derivative in murine models of human cancer. *Neoplasia* **13(5)**, 453-460 (2011).
4. Li, M., Wang, Y., Ge, C., *et al.* Synthesis and biological evaluation of novel alkylated polyamine analogues as potential anticancer agents. *Eur. J. Med. Chem.* **143**, 1732-1743 (2018).

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